			. <b>Y</b>	
L Number	Hits	Search Text	DB	Time stamp
1	377	("562/439").CCLS.	USPAT;	2002/03/19 06:29
_			EPO; JPO;	
			DERWENT	•
	508	(#560/24#) COTO	USPAT;	2002/03/19 06:29
2	508	("560/34").CCLS.	•	2002/03/19 06:29
			EPO; JPO;	
			DERWENT	
3	397	("514/539").CCLS.	USPAT;	2002/03/19 06:30
			EPO; JPO;	
			DERWENT	
_	579	("514/570").CCLS.	USPAT:	2002/03/19 06:30
4	5/9	("514/5/0").CCLS.		2002/03/19 00.30
			EPO; JPO;	1
	l		DERWENT	
6	1639	(("562/439").CCLS.) or (("560/34").CCLS.) or	USPAT;	2002/03/19 06:30
		(("514/539").CCLS.) or (("514/570").CCLS.)	EPO; JPO;	
			DERWENT	
7	2756	pylori	USPAT;	2002/03/19 06:31
'	2,30	PYIOII	EPO; JPO;	2002,03,13 00.31
	_		DERWENT	
8	0	((("562/439").CCLS.) or (("560/34").CCLS.)	USPAT;	2002/03/19 06:31
		or (("514/539").CCLS.) or	EPO; JPO;	
		(("514/570").CCLS.)) and pylori	DERWENT	1
ا وا	78519		USPAT;	2002/03/19 06:31
-	, 0,519		EPO; JPO;	-002, 00, 15 00.51
				Į, l
[ _ [			DERWENT	
10	147		USPAT;	2002/03/19 06:32
		or (("514/539").CCLS.) or	EPO; JPO;	
		(("514/570").CCLS.)) and antibiot\$	DERWENT	
11	2743	helicobacter	USPAT;	2002/03/19 06:32
	2,13	noi i condicio i	EPO; JPO;	2002,03,13
			DERWENT	
1	_	(///#560/430#) GGTG ) //#560/34#) GGTG )		0000/00/10 06 00
12	0	, , , , , , , , , , , , , , , , , , , ,	USPAT;	2002/03/19 06:32
1		or (("514/539").CCLS.) or	EPO; JPO;	
		(("514/570").CCLS.)) and antibiot\$) and	DERWENT	
		helicobacter		i
13	15334	ulcer	USPAT;	2002/03/19 06:32
			EPO; JPO;	
			DERWENT	
1	10	////#560/400#\\ 667.0 \\ //#560/04#\\ 667.0 \\		2002/20/20 25 55
14	10	(((("562/439").CCLS.) or (("560/34").CCLS.)	USPAT;	2002/03/19 06:55
		or (("514/539").CCLS.) or	EPO; JPO;	
		(("514/570").CCLS.)) and antibiot\$) and	DERWENT	
		ulcer		
15	2	9418964.pn.	USPAT;	2002/03/19 07:09
	_		EPO; JPO;	,,
i l			DERWENT	
1,.	2	4340410		2002/03/10 07 14
16	3	4348410.pn.	USPAT;	2002/03/19 07:14
			EPO; JPO;	
			DERWENT	
17	2	4348410.URPN.	USPAT;	2002/03/19 07:11
			EPO; JPO;	
			DERWENT	
18	3	9606825.pn.	USPAT;	2002/03/19 07:19
	3	>000023.pii.		2002/03/19 07.19
			EPO; JPO;	
	_		DERWENT	
19 .	3	4220262.pn.	USPAT;	2002/03/19 07:20
ļ			EPO; JPO;	į į
			DERWENT	ļ l
20	5	4220662.pn.	USPAT;	2002/03/19 07:33
	_ ,		EPO; JPO;	,,,,,,
			DERWENT	
		4500046		( (
21	3	4732916.pn.	USPAT;	2002/03/19 07:36
			EPO; JPO;	
	i		DERWENT	
22	5	4732916.URPN.	USPAT;	2002/03/19 07:34
			EPO; JPO;	,, 052
			DERWENT	
, ,		40E4E12 nn		2002/02/20 05 35
23	2	4954512.pn.	USPAT;	2002/03/19 07:36
ļ l			EPO; JPO;	
			DERWENT	
24	14	"4954512"	USPAT;	2002/03/19 08:09
			EPO; JPO;	
Į			DERWENT	
			DECMENT	L

25	4	camostate	USPAT;	2002/03/19 08:22
			EPO; JPO;	
			DERWENT	
26	٥ ا	6284791.URPN.	USPAT;	2002/03/19 11:17
-			EPO; JPO;	
			DERWENT	

	Туре	L #	Hits	Search Text	DBs	Time Stan	Comments	Error	Definition
1	IS&R	L1	377	("562/439").CCLS.	JPO; DERWE NT	2002/03/19 06:29			
2	IS&R	L2	508	("560/34").CCLS.	USPAT; EPO; JPO; DERWE NT	2002/03/19 06:29			
3	IS&R	L3	397	("514/539").CCLS.	JPO; DERWE NT	2002/03/19 06:30			
4	IS&R	L4	579		USPAT; ; EPO; JPO; DERWE NT	2002/03/19 06:30			
5	BRS	L6	1639		JPO; DERWE NT	2002/03/19 06:30			
6	BRS	L7	2756	pylori		2002/03/19 06:31			
7	BRS	L8	0	16 and 17	JPO; DERWE NT	2002/03/19 06:31			
8	BRS	L9	78519	antibiot\$	JPO; DERWE NT	2002/03/19 06:31			
9	BRS	L10	147	16 and 19	JPO; DERWE NT	2002/03/19 06:32			
10	BRS	Lll	2743	helicobacter		2002/03/19 06:32			

	Er
1	0
2	0
3	0
4	0
5	О
6	0
7	0
8	0
9	0
10	0

03/19/2002, EAST Version: 1.02.0008

	Туре	L #	Hits	Search Text	DBs	Time Stamp	Comments	Error	Definition
11	BRS	L12	0	l10 and l11	USPAT; EPO; JPO; DERWE	2002/03/19 06:32			
12	BRS	L13	15334	ulcer		2002/03/19 06:32			
13	BRS	L14	10	110 and 113	USPAT; EPO; JPO; DERWE	2002/03/19 06:55			
14	BRS	L15	2	9418964.pn.	USPAT; EPO; JPO; DERWE NT	2002/03/19 07:09			
15	BRS	L16	3	4348410.pn.	USPAT; ; EPO; JPO; DERWE NT	2002/03/19 07:14			
16	B <sub>P</sub> RS	L17	2	4348410.URPN.	USPAT; EPO; JPO; DERWE NT	2002/03/19 07:11			
17	BRS	L18	3	9606825.pn.	USPAT; EPO; JPO; DERWE NT	2002/03/19 07:19	,		
18	BRS	L19	3	4220262.pn.		2002/03/19 07:20			
19	BRS	L20	5	4220662.pn.	JPO; DERWE NT	2002/03/19 07:33			
20	BRS	L21	3	4732916.pn.	USPAT; ; EPO; JPO; DERWE NT	2002/03/19 07:36			

	Er:
11	0
12	0
13	0
14	0
15	0
16	0
17	0
18	0
19	0
20	0

03/19/2002, EAST Version: 1.02.0008

	Туре	L #	Hits	Search Text	DBs	Time Stam	Comments	Error	Definition
21	BRS	L22	5	4732916.URPN.		2002/03/19 07:34	)		
22	BRS	L2·3	2	4954512.pn.		2002/03/19 07:36	)		
23	BRS	L24	14	"4954512"	USPAT; EPO; JPO; DERWE NT	2002/03/19 08:09	)		
24	BRS	L25	4	camostate		2002/03/19 08:22	)		
25	BRS	L26	0			2002/03/19 11:17	)		

	Err
21	ors 0
22	0
23	0
24	0
25	0

03/19/2002, EAST Version: 1.02.0008

Connecting via Winsock to STN

Trying 3106016892..Open

Welcome to STN International! Enter x:x LOGINID:ssspta1623paz
PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

•

```
Welcome to STN International
                Web Page URLs for STN Seminar Schedule - N. America
         Sep 17 IMSworld Pharmaceutical Company Directory name change
                to PHARMASEARCH
         Oct 09 Korean abstracts now included in Derwent World Patents
NEWS
                Index
NEWS
         Oct 09 Number of Derwent World Patents Index updates increased
NEWS
         Oct 15 Calculated properties now in the REGISTRY/ZREGISTRY File
         Oct 22 Over 1 million reactions added to CASREACT
NEWS 6
NEWS 7
         Oct 22 DGENE GETSIM has been improved
NEWS 8
        Oct 29 AAASD no longer available
NEWS 9
         Nov 19 New Search Capabilities USPATFULL and USPAT2
NEWS 10
        Nov 19 TOXCENTER(SM) - new toxicology file now available on STN
NEWS 11
         Nov 29 COPPERLIT now available on STN
NEWS 12
        Nov 29 DWPI revisions to NTIS and US Provisional Numbers
NEWS 13 Nov 30 Files VETU and VETB to have open access
NEWS 14 Dec 10 WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 15 Dec 10 DGENE BLAST Homology Search
NEWS 16 Dec 17 WELDASEARCH now available on STN
NEWS 17 Dec 17 STANDARDS now available on STN
NEWS 18 Dec 17 New fields for DPCI
NEWS 19 Dec 19 CAS Roles modified
NEWS 20 Dec 19 1907-1946 data and page images added to CA and CAplus
NEWS 21 Jan 25 BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 22 Jan 25 Searching with the P indicator for Preparations
NEWS 23
         Jan 29 FSTA has been reloaded and moves to weekly updates
NEWS 24
        Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update
                frequency
         Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 26 Mar 08 Gene Names now available in BIOSIS
             Fabruary 1 CURRENT WINDOWS VERSION IS V6.0d,
NEWS EXPRESS
             CMRRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
             AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS
             ST Operating Hours Plus Help Desk Availability
             General Internet Information
NEWS INTER
NEWS LOGIN
             Welcome Banner and News Items
             Mirect Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
             ■ World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 06:40:35 ON 19 MAR 2002

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.15 0.15

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 06:40:52 ON 19 MAR 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 17 MAR 2002 HIGHEST RN 401569-84-4 DICTIONARY FILE UPDATES: 17 MAR 2002 HIGHEST RN 401569-84-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

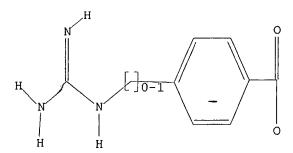
The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the H/Z/CA/CAplus files between 12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches during this period, either directly appended to a CAS Registry Number or by qualifying an L-number with /P, may have yielded incomplete results. As of 1/23/02, the situation has been resolved. Also, note that searches conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator between 12/27/01 and 1/23/02, are encouraged to re-run these strategies. Contact the CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698, worldwide, or send an e-mail to help@cas.org for further assistance or to receive a credit for any duplicate searches.

Uploading 09975136 claim 1.str

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> search l1 sss sam

SAMPLE SEARCH INITIATED 06:41:29 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 193 TO ITERATE

100.0% PROCESSED

193 ITERATIONS

50 ANSWERS

INCOMMETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCHTIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

3027 TO 4693

PROJECTED ANSWERS:

1147 TO 2253

L2

50 SEA SSS SAM L1

=>

Uploading 09975136 claim 1.str

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3

STR

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

Structure attributes must be viewed using STN Express query preparation.

=> search 13 sss sam
SAMPLE SEARCH INITIATED 06:43:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 193 TO ITERATE

100.0% PROCESSED

193 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED\_ITERATIONS:

3027 TO 4693

PROJECTED ANSWERS:

1131 TO

L4

50 SEA SSS SAM L3

=> d scan

L450 ANSWERS REGISTRY COPYRIGHT 2002 ACS

Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[(3-ΙN

pyridazinylamino)carbonyl]phenyl ester, monomethanesulfonate (9CI)

MF C19 H16 N6 O3 . C H4 O3 S

> CM 1

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

REGISTRY COPYRIGHT 2002 ACS L450 ANSWERS

IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 1,2-dihydro-1-oxo-5isoquinolinyl ester (9CI)

C17 H14 N4 O3 MF

COM CI

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L4

50 ANSWERS REGISTRY COPYRIGHT 2002 ACS Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[[2-[4-(1-methylethyl)-1-IN piperazinyl]-2-oxoethoxy]carbonyl]phenyl ester, mono(trifluoromethanesulfonate) (9CI)

C24 H29 N5 O5 . C H F3 O3 S MF

> CM 1

CM

L450 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzoic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-3,5-dimethyl-, 2-(dimethylamino)ethyl ester, dihydrochloride (9CI)

C21 H26 N4 O4 . 2 Cl H MF

$$\begin{array}{c|c}
NH & Me \\
H_2N - C - NH & C - O - CH_2 - CH_2 - NMe_2 \\
\end{array}$$

# ●2 HCl

L4 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN 1,2-Benzenedicarboxylic acid,

3-[[2-[[4-[(aminoiminomethyl)amino]benzoyl]o

xy]benzoyl]amino]-, 1-ethyl ester, monomethanesulfonate (9CI)

MF C25 H22 N4 O7 . C H4 O3 S

CM 1

CM 2

L4 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzeneacetic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-.alpha.-:
methyl-, (4-fluorophenyl)methyl ester, monomethanesulfonate (9CI)

MF C24 H22 F N3 O4 . C H4 O3 S

CM 1

CM2

REGISTRY COPYRIGHT 2002 ACS 50 ANSWERS L4

Benzoic acid, 4-[(aminoiminomethyl)amino]-, 2-(5-ethoxy-4-oxo-4H-3,1-IN benzoxazin-2-yl)phenyl ester, monomethanesulfonate (9CI) C24 H20 N4 O5 . C H4 O3 S

MF

CM 1

CM 2

REGISTRY COPYRIGHT 2002 ACS L450 ANSWERS

IN Benzeneacetic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-.alpha.-

# \*\*PROPERTY DATM AVAILABLE IN THE 'PROP' FORMAT\*\*

L4 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 2-Naphthalenecarboxylic acid,
6-[[4-[(aminoiminomethyl)amino]benzoyl]oxy], 2-(4-mompholinyl)-2-oxoethyl ester, monomethanesulfonate (9CI)
MF C25 H24 N 606 . C H4 O3 S

CM 1

CM 2

L4 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzeneacetic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-.alpha.-methyl-, \$)- (9CI)

MF C17 H17 N3 O4

CI COM

Absolute sterechemistry.

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L4 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[(1E)-3-(4-morpholinyl)-3oxo-1-propenyl]phenyl ester (9CI)

MF C21 H22 N4 O4

CI COM

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> logoff hold COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 1.92 2.07

FULL ESTIMATED COST

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 06:44:18 ON 19 MAR 2002

Connecting via Winsock to STN

Trying 3106016892...Open

Welcome to STN International! Enter x:x
LOGINID:ssspta1623paz

PASSWORD:

COST IN U.S. DOLLARS

SINCE FILE TOTAL
EMRY SESSION
1.92 2.07

FULL ESTIMATED COST

Uploading 09975136 claim 1.str

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5

STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE WA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> search 15 sss sam
SAMPLE SEARCH INITIATED 06:51:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 193 TO ITERATE

100.0% PROCESSED 193 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 3027 TO 4693
PROJECTED ANSWERS: 1031 TO 2089

L6 50 SEA SSS SAM L5

1

=> d scan

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[[2-1-(1-methylethyl)-1-piperazinyl]-2-oxoethoxy]carbonyl]phenyl ester, mono(trifluoromethanesulfonate) (9CI)

MF C24 H29 N5 O5 . C H F3 O3 S

CM 1

1

**CP** 2

#### HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzeneacetic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-.alpha.methyl-, 2-(diethylamino)-2-oxoethyl ester, monomethanesulfonate (9CI)

MF CB H28 N4 O5 . C H4 O3 S

**CE** 1

$$\begin{array}{c|c} \mathbf{NE} & \mathbf{O} & \mathbf{O} \\ \mathbf{H_2N-C-NH} & \mathbf{CH-C-O-CH_2-C-NEt_2} \\ \mathbf{Me} & \mathbf{O} \end{array}$$

**CM** 2

L6 **50** ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 3-chloro-5-[[(1methylethyl)sulfonyl]oxy]phenyl ester, monoacetate (9CI)

MF CT H18 Cl N3 O5 S . C2 H4 O2

**CM** 1

CM 2

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[[4-[2-(diethylamino)-2oxoethyl]-1-piperazinyl]carbonyl]phenyl ester,
mono(trifluoromethanesulfonate) (9CI)

MF C25 H32 N6 O4 . C H F3 O3 S

CM 1

$$\begin{array}{c} \text{NH} \\ \parallel \\ \parallel \\ \text{H}_2\text{N}-\text{C}-\text{NH} \\ \hline \\ \text{C}-\text{O} \end{array} \begin{array}{c} \text{O} \\ \parallel \\ \text{C} \\ \text{C} \end{array}$$

CM 2

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzeneacetic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-.alpha.-methyl-, carboxymethyl ester, monomethanesulfonate (9CI)

MF C19 H19 N3 O6 . C H4 O3 S

CM 1

CM 2

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 2,2-dimethylpropyl ester
(9CI)
MF C13 H19 N3 O2

$$\begin{array}{c|c} \mathsf{O} & \mathsf{O} \\ \mathsf{C} - \mathsf{O} - \mathsf{CH}_2 - \mathsf{CMe}_3 \\ \mathsf{H}_2 \mathsf{N} - \mathsf{C} - \mathsf{NH} \end{array}$$

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[[4-[2-(diethylamino)-2-oxoethyl]-1-piperazinyl]carbonyl]phenyl ester (9CI)

MF C25 H32 N6 O4

CI COM

$$\begin{array}{c} \text{NH} \\ \parallel \\ \text{H}_2\text{N-C-NH} \\ \hline \\ \text{C-O-} \end{array}$$

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzeneacetic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-.alpha.-

methyl-, (4-fluorophenyl) methyl ester, monomethanesulfonate (9CI)

MF C24 H22 F N3 O4 . C H4 O3 S

CM 1

CM 2

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[(1E)-3-(4-morpholinyl)-3oxo-1-propenyl]phenyl ester (9CI)

MF C21 H22 N4 O4

CI COM

Double bond geometry as shown.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzoic acid, 4-[(aminoiminomethyl)amino]-, 4-[[(5-methyl-3-isoxazolyl)amino]carbonyl]phenyl ester, monomethanesulfonate (9CI)

MF C19 H17 N5 O4 . C H4 O3 S

CM 1

CM 2

L6 50 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN Benzeneacetic acid, 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-.alpha.-methyl-, 2-amino-2-oxoethyl ester, monomethanesulfonate (9CI)

MF C19 H20 N4 O5 . C H4 O3 S

CM 1

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> search 15 sss full FULL SEARCH INITIATED 06:53:06 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 3506 TO ITERATE

100.0% PROCESSED 3506 ITERATIONS

1241 ANSWERS

SEARCH TIME: 00.00.02

L7 1241 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 143.42 143.57

FULL ESTIMATED COST

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FILE COVERS 1907 - 19 Mar 2002 VOL 136 ISS 12 FILE LAST UPDATED: 17 Mar 2002 (20020317/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.

=> 17 L8 828 L7

=> save temp 17 hpyloriclm1/a
ANSWER SET NOT SAVED.
THE ANSWER SET WAS CREATED IN FILE 'REGISTRY'.
USE THE FILE COMMAND TO CHANGE TO THE CORRECT FILE.
Answer sets must be saved in the same file in which they were created.

=> save temp 18 hpyloriclm1/a ANSTER SET L8 HAS BEEN SAVED AS 'HPYLORICLM1/A' => yylori 6373 PYLORI 20 PYLORIS L9 6386 PYLORI (PYLORI OR PYLORIS) =>18 and 19 L10 1 L8 AND L9 => d 110 ti fbib abs L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS Enzyme inhibitors for treatment of gastrointestinal disorders caused by Helicobacter pylori AN 1994:587325 CAPLUS DN 121:187325 ΤI Enzyme inhibitors for treatment of gastrointestinal disorders caused by Helicobacter pylori Wabnitz, Rudolf; Riedl, Jutta; Ansorg, Rainer; Goebell, Harald IN Asche AG, Germany Ger. Offen., 3 pp. SO CODEN: GWXXBX DTPatent T.A German FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_ DE 1993-4305536 19940825 19930219 PΤ DE 4305536 A 1 19940901 WO 1994-EP523 19940218 WO 9418964 A1 W: AU, CA, FI, HU, JP, NO, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE DE 1993-4305536 19930219 AU 1994-62053 19940218 AU 9462053 Α1 19940914 DE 1993-4305536 19930219 WO 1994-EP523 19940218 EP 804184 **A**1 19971105 EP 1994-909036 19940218 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE DE 1993-4305536 19930219 WO 1994-EP523 19940218 WO 9614068 Α1 19960517 WO 1994-EP3669 19941107 W: AT, CA, FI, HU, JP, NO, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE DE 1993-4305536 19930219 AB Enzyme inhibitors, esp. protease inhibitors such as .omega.guanidinocarboxylic acid esters, are useful for treatment of H. pylori-assocd. gastrointestinal disorders. Thus, soft gelatin capsules contained camostat 100.00, soybean lecithin 5.00, 2,6-di-tert-butyl-4-methylphenol (antioxidant) 0.1, and peanut oil to 800.00 mg. => file reg SINCE FILE TOTAL

=> file reg
COST IN U.S. DOLLARS
FULL ESTIMATED COST

ENTRY SESSION
6.94 150.51

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

-0.62

-0.62

CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 06:58:33 ON 19 MAR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 17 MAR 2002 HIGHEST RN 401569-84-4 DICTIONARY FILE UPDATES: 17 MAR 2002 HIGHEST RN 401569-84-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the H/Z/CA/CAplus files between 12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches during this period, either directly appended to a CAS Registry Number or by qualifying an L-number with /P, may have yielded incomplete results. As of 1/23/02, the situation has been resolved. Also, note that searches conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator between 12/27/01 and 1/23/02, are encouraged to re-run these strategies. Contact the CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698, worldwide, or send an e-mail to help@cas.org for further assistance or to receive a credit for any duplicate searches.

Uploading 09975136 claim 2.str

L11 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=>

=>

=> . ~

Uploading 09975136 claim 2.str

L12STRUCTURE UPLOADED

=> d 1;12

L12 HAS NO ANSWERS

'L ' IS NOT A VALID STRUCTURE FORMAT KEYWORD

Structure Formats

SIA ---- Structure Image, Attributes, and map table if it contains data. (Default)

SIM ---- Structure IMage.

SAT ---- Structure ATtributes and map table if it contains data.

SCT ---- Structure Connection Table and map table if it contains data.

SDA ---- All Structure DAta (image, attributes, connection table and map table if it contains data).

NOS ---- NO Structure data.

ENTER STRUCTURE FORMAT (SIM), NOS:end

L13 584169 12

=> d 112

L12 HAS NO ANSWERS L12 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> search 112 sss sam

SAMPLE SEARCH INITIATED 07:00:57 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -

100.0% PROCESSED

1 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

1 TO 80

PROJECTED ANSWERS:

0 TO 0

0 SEA SSS SAM L12 T.14

=> search l12 sss full

FULL SEARCH INITIATED 07:01:17 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED

27 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L15

0 SEA SSS FUL L12

=>

Uplcading 09975136 claim 2 try 2.str

STRUCTURE UPLOADED

=> **d** 116

L16 HAS NO ANSWERS

STR

~ 2523

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> march 116 sss sam

SAMELE SEARCH INITIATED 07:04:25 FILE 'REGISTRY'

SAMBLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED

3 ITERATIONS

0 ANSWERS

SEASCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

3 TO 163

PROJECTED ANSWERS:

0 TO Ω

L17

0 SEA SSS SAM L16

=> search 116 sss full

FULL SEARCH INITIATED 07:04:35 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 53 TO ITERATE

0 ANSWERS

100.0% PROCESSED 53 ITERATIONS SEARCH TIME: 00.00.01

0 SEA SSS FUL L16

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION FULL ESTIMATED COST 287.32 437.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION 0.00

CA SUBSCRIBER PRICE

-0.62

FILE 'MARPAT' ENTERED AT 07:05:14 ON 19 MAR 2002

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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 136 ISS 11) (20020315/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COWERAGE TO THESE DATES IS NOT COMPLETE):

US 200202262 21 FEB 2002 DE 10117672 14 FEB 2001 EP 1180723 20 FEB 2002 JP 200205407 19 FEB 2002 WO 200201443 21 FEB 2002

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> 118

SAMPLE SEARCH INITIATED 07:05:21 FILE 'MARPAT'
SAMPLE SCREEN SEARCH COMPLETED - 257 TO ITERATE

100.0% PROCESSED 257 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

4190 TO 6090

PROJECTED ANSWERS:

0 TO 0

L19 0 SEA SSS SAM L16

=> 115

SAMPLE SEARCH INITIATED 07:06:14 FILE 'MARPAT'
SAMPLE SCREEN SEARCH COMPLETED - 257 TO ITERATE

100.0% PROCESSED 257 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

4190 TO 6090

PROJECTED ANSWERS:

0 TO 0

L20

0 SEA SSS SAM L12

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.64
438.47

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY

CA SUBSCRIBER PRICE

0.00

-0.62

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FILE COVERS 1907 - 19 Mar 2002 VOL 136 ISS 12 FILE LAST UPDATED: 18 Mar 2002 (20020318/ED)

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=> d his

(FILE 'HOME' ENTERED AT 06:40:35 ON 19 MAR 2002)

```
FILE 'REGISTRY' ENTERED AT 06:40:52 ON 19 MAR 2002
                STRUCTURE UPLOADED
L1
             50 SEARCH L1 SSS SAM
L2
L3
                STRUCTURE UPLOADED
             50 SEARCH L3 SSS SAM
L4
                STRUCTURE UPLOADED
L5
L6
             50 SEARCH L5 SSS SAM
L7
           1241 SEARCH L5 SSS FULL
     FILE 'CAPLUS' ENTERED AT 06:53:21 ON 19 MAR 2002
            828 L7
^{18}
                SAVE TEMP L8 HPYLORICLM1/A
L9
           6386 PYLORI
L10
              1 L8 AND L9
     FILE 'REGISTRY' ENTERED AT 06:58:33 ON 19 MAR 2002
L11
                STRUCTURE UPLOADED
                STRUCTURE UPLOADED
L12
         584169 12
L13
L14
              0 SEARCH L12 SSS SAM
              0 SEARCH L12 SSS FULL
L15
                STRUCTURE UPLOADED
L16
              0 SEARCH L16 SSS SAM
L17
              0 SEARCH L16 SSS FULL
L18
     FILE 'MARPAT' ENTERED AT 07:05:14 ON 19 MAR 2002
L19
              0 L18
L20
              0 L15
```

FILE 'CAPLUS' ENTERED AT 07:06:31 ON 19 MAR 2002

=> logoff hold COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 1.34 439.81

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
CA SUBSCRIBER PRICE

0.00
-0.62

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 07:09:07 ON 19 MAR 2002
Connection closed by remote host

Connecting via Winsock to STN

Trying 3106016892...Open

Welcome to STN International! Enter x:x
LOGINID:ssspta1623paz
PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \* \* SESSION RESUMED IN FILE 'CAPLUS' AT 07:27:47 ON 19 MAR 2002 FILE 'CAPLUS' ENTERED AT 07:27:47 ON 19 MAR 2002 COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY · 1.34	SESSION 439.81
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.62
=> file reg COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 1.34	SESSION 439.81
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.62

FILE 'REGISTRY' ENTERED AT 07:27:59 ON 19 MAR 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 17 MAR 2002 HIGHEST RN 401569-84-4 DICTIONARY FILE UPDATES: 17 MAR 2002 HIGHEST RN 401569-84-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

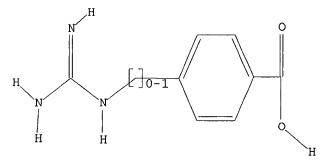
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Uploading 09975136 claim 1 acids only.str

STRUCTURE UPLOADED L21

=> d 121L21 HAS NO ANSWERS L21



G1 H, Cb, Ak

Structure attributes must be viewed using STN Express query preparation.

=> search 121 sss full FULL SEARCH INITIATED 07:29:08 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1586 TO ITERATE

100.0% PROCESSED 1586 ITERATIONS 51 ANSWERS

SEARCH TIME: 00.00.02

L22

51 SEA SSS FUL L21

=> dscan

0 DSCAN

L23

0 DSCAN

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL SESSION ENTRY

FULL ESTIMATED COST

144.86

584.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY

TOTAL SESSION

CA SUBSCRIBER PRICE

0.00

-0.62

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FILE COVERS 1907 - 19 Mar 2002 VOL 136 ISS 12 FILE LAST UPDATED: 18 Mar 2002 (20020318/ED)

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=> 122 L24 172 L22

=> save temp 124 hpyloriacids/a
ANSWER SET L24 HAS BEEN SAVED AS 'HPYLORIACIDS/A'

=> d his

(FILE 'HOME' ENTERED AT 06:40:35 ON 19 MAR 2002)

FILE 'REGISTRY' ENTERED AT 06:40:52 ON 19 MAR 2002
L1 STRUCTURE UPLOADED
L2 50 SEARCH L1 SSS SAM
L3 STRUCTURE UPLOADED
L4 50 SEARCH L3 SSS SAM
L5 STRUCTURE UPLOADED
L6 50 SEARCH L5 SSS SAM
L7 1241 SEARCH L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 06:53:21 ON 19 MAR 2002

```
828 L7
L8
                SAVE TEMP L8 HPYLORICLM1/A
L9
           6386 PYLORI
              1 L8 AND L9
L10
     FILE 'REGISTRY' ENTERED AT 06:58:33 ON 19 MAR 2002
L11
                STRUCTURE UPLOADED
L12
                STRUCTURE UPLOADED
                                     L13
         584169 12
L14
              0 SEARCH L12 SSS SAM
              0 SEARCH L12 SSS FULL
L15
L16
                STRUCTURE UPLOADED
L17
              0 SEARCH L16 SSS SAM
L18
              0 SEARCH L16 SSS FULL
     FILE 'MARPAT' ENTERED AT 07:05:14 ON 19 MAR 2002
L19
              0 L18
L20
              0 L15
     FILE 'CAPLUS' ENTERED AT 07:06:31 ON 19 MAR 2002
     FILE 'REGISTRY' ENTERED AT 07:27:59 ON 19 MAR 2002
L21
                STRUCTURE UPLOADED
L22
             51 SEARCH L21 SSS FULL
L23
              0 DSCAN
     FILE 'CAPLUS' ENTERED AT 07:29:31 ON 19 MAR 2002
L24
            172 L22
                SAVE TEMP L24 HPYLORIACIDS/A
=> ulcer
         18718 ULCER
          8691 ULCERS
L25
         21545 ULCER
                 (ULCER OR ULCERS)
=> 124 and 125
T<sub>2</sub>6
            6 L24 AND L25
=> d 126 1-6 ti
L26 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS
     Syntheses and inhibitory effects on gastric lesions of
     4-guanidinomethylbenzoic acid arylamides
    ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS
     Antiulcer compositions containing N-benzoyl- and N-cyclohexylcarbonyl-L-
     phenylalanine derivatives
L26 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS
     4-(Guanidinomethyl)benzoic acid phenyl esters and peptic ulcer
TI
     inhibitors containing them
    ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS
L26
     Preparation of 4-(quanidinomethyl)benzanilide acid salt hydrates as
     ulcer inhibitors
L26 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS
     Preparation of guanidinomethylbenzamidobenzene derivatives, compositions
```

containing the same, and their use as antiulcer agents

- L26 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS
- TI Preparation of guanidinomethylbenzoic acid derivative as an antiulcer agent

# =>\_d 126 1-6 ti fbib abs

- L26 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS
- TI Syntheses and inhibitory effects on gastric lesions of 4-guanidinomethylbenzoic acid arylamides
- AN 1994:322888 CAPLUS
- DN 120:322888
- TI Syntheses and inhibitory effects on gastric lesions of 4-quanidinomethylbenzoic acid arylamides
- AU Ueda, Hiroki; Ikeda, Tetsuyuki; Kakegawa, Hisao; Miyataka, Hideki; Matsumoto, Hitoshi; Satoh, Toshio
- CS Fac. Pharm. Sci., Tokushima Bunri Univ., Tokushima, 770, Japan
- SO Chem. Pharm. Bull. (1993), 41(8), 1387-90 CODEN: CPBTAL; ISSN: 0009-2363
- DT Journal
- LA English
- GΙ

HC10 
$$^{\text{H}_2\text{N}}_{\text{HN}}$$
 CNHCH2 — CONH

AB A novel series of 4-guanidinomethylbenzoic acid (GMBA) arylamides (I; R = H, 4-Cl, 2-CO2Et, 4-Me, 4-CMe3, 4-OMe4, 3-CO2Et, 4-CO2Et, 4-NO2) was synthesized. Several showed more potent inhibitory effects on stress-induced gastric lesion in rats than cetraxate. The authors selected 4-guanidinomethylbenzoic acid (2'-ethoxycarbonyl)phenylamide 3 for further pharmacol. assessments because it had low toxicity. Compd. 3 showed significant inhibitory effects on stress-, HCl-ethanol- and indomethacin-induced gastric lesions and gastric secretion, the ED50 values being 34.4, 45.0 and 23.0 mg/kg (p.o.) and 240 mg/kg (i.d.), resp. Furthermore, this compd. restored the redn. of gastric mucus caused by the

stress-loading and inhibited compd. 48/80-induced ulcer.

- L26 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS
- TI Antiulcer compositions containing N-benzoyl- and N-cyclohexylcarbonyl-L- phenylalanine derivatives
- AN 1989:633667 CAPLUS
- DN 111:233667
- TI Antiulcer compositions containing N-benzoyl- and N-cyclohexylcarbonyl-L- phenylalanine derivatives
- IN Oguro, Katsunori; Nojima, Hiroshi; Hashizume, Nobuyuki; Ohno, Norio; Naito, Taketoshi
- PA Okamoto, Shosuke, Japan; Showa Denko K. K.
- SO Eur. Pat. Appl., 40 pp. CODEN: EPXXDW
- DT Patent
- LA English

FAN	LENT PA'	1 FENT	NO.		KIN	ID	DATE			AP	PLICATION NO.	DATE
PI		3179 3179			A2 A3		1989 1990			ĒΡ	1988-119427	19881122
		R:	BE,	CH,	DE,	FR	GB,	IT,	LI,	NL,	SE	
										JP	1987-297082	19871124
	JP	0113	9528		A2		1989	0601		JP	1987-297082	19871124
	US	4954	$51\overline{2}$		Α		1990	0904		ับร	1988-275193	19881123
										JP	1987-297082	19871124
	ΑU	8825	899		A1		1989	0525		AU	1988-25899	19881124
										JP	1987-297082	19871124
os	CA:	SREAC'	r 11:	1:233	3667;	M/	ARPAT	111	:233	667		

OS CASREACT 111:233667; MARPAT 111:233667

$$CH_2$$
 $A-B-CONHCHCON$ 
 $E$ 
 $G$ 

Title compds. I [A = H2NCH2, H2NC(:NH), H2NC(:NH)NH, H2NC(:NH)NHCH2; B =AB 1,4-C6H4 or trans-1,4-cyclohexanediyl; D, E = H, R, R1CO2R, R1(OH)CO2R,R1C6H4CO2R, 3-pyridyl, C6H4X, cyclohexyl substituted by R or CO2R; X = H, CONR2, CONHR, CONH2, CO2H, CO2R, COR, R1(CO2R); R = alkyl; R1 = alkylene; G = H, OCH2Ph, OPh] were prepd. as antiulcer agents. Treatment of trans-4-(aminomethyl)cyclohexylcarboxylic acid with Et3N and O(CO2CMe3)2 gave the N-tert-butoxycarbonyl deriv., which was coupled with L-phenylalanine amide-HCl using ClCO2Et and Et3N in THF, followed by deprotection with HCl in 1,4-dioxane, to give trans-[(aminomethyl)cyclohexylcarbonyl]-L-phenylalanine amide-HCl (II). At 100 mg/kg orally in rats, II inhibited stress-induced ulcers (water immersion method) by 88.2%. At 100 mg/kg orally in rats, 32 I salts gave 52.5-96.6% inhibition of HCl-induced ulcers. No deaths or toxicol. symptoms were obsd. in mice after oral administration of any of the prepd. I at 2000 mg/kg.

L26 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS

TI 4-(Guanidinomethyl)benzoic acid phenyl esters and peptic ulcer inhibitors containing them

AN 1989:172899 CAPLUS

DN 110:172899

TI 4-(Guanidinomethyl)benzoic acid phenyl esters and peptic ulcer inhibitors containing them

IN Imai, Eiji; Shibata, Masayoshi; Nakaoku, Shozo; Sakuma, Kazuhiko; Kato, Toyonari

PA Taiyo Yakuhin Kogyo K. K., Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

19880912

PI JP 63218652 OS MARPAT 110:172899

AB 4-H2NC(:NH)NHCH2C6H4CO2C6H3R1R2 (I;R1 = H, halo, linear or branched lower

alkyl, CHO, CO2H or its ester; R2 = H, lower alkoxy) or their acid salts, useful as peptic ulcer inhibitors, are prepd. A soln. of p-H2NCH2C6H4CO2H in hot H2O was added dropwise to a soln. of H2NC(SMe):NH in an aq. NaOH, the reaction mixt. was kept at room temp. for a day, and the resulting crystal was treated with aq. HCl to give 46% 4-H2NC(:NH)NHCH2C6H4CO2H.HCl (II). Stirring o-HOC6H4CO2H.Na with PhCH2Cl in DMF at 100.degree. for 12 h gavebenzyl salicylate, which was treated with II and DCC in DMF/pyridine at 10.degree. for 6 h to give 53% I.HCl (R1 = 2-CO2CH2Ph, R2 = H) (III). BI at 100 mg/kg p.o. inhibited EtOH-induced gastric ulcer on rats by 92.6%. A capsule (content 200 mg) contg. III 50, lactose 50, ryst. cellulose 75, Mg stearate 2 mg, and corn starch was prepd.

JP 1987-53767

19870309

L26 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2012 ACS

A2

TI Preparation of 4-(guanidinomethyl):bmzanilide acid salt hydrates as ulcer inhibitors

AN 1988:570046 CAPLUS

DN 109:170046

TI Preparation of 4-(guanidinomethyl) benzanilide acid salt hydrates as ulcer inhibitors

IN Ohira, Kazuo; Imai, Eiji; Nakaoku, Mozo

PA Taiyo Pharmaceutical Industry Co., td., Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

OS 1

US MARPAT 109:1/0046

$$_{\text{H}_2\text{N}}^{\text{HN}}$$
C-NHCH2 CONH  $_{\text{O}}^{\text{H}_2\text{N}}$  0 H2O

The title compds. (I; X = org. or imorg. acid group residue), useful as ulcer inhibitors, are prepd. To 17.7 g MeSC:NH(NH2).H2SO4 in 2N aq. NaOH at pH 11 was added 10 g p-MH2C6H4CO2Me in H2O and the resultant crystal was recrystd. with aq. HCl to give 8.4 g p- (NH2)HN=CNHCH2C6H4CO2H.HCl (II) as thite crystal. II (2.0 g) and PhNH2 (0.9 g) in a pyridine-DMF soln. were reacted with 1.0 g DCC at room temp. for 70 h to give 1.1 g I (X = Cl) (III). III showed ED50 of 34 mg/kg

p.o. and min. LD (MLD) of > 3000 mg/kg ps. vs. ED50 of 143 mg/kg and MLD of < 1000 mg/kg by prior art

N-(phenyl)-trans-4-guanidinomethylcycloheanecarba

mide HCl salt (IV) against rat stomach  ${\bf ulcer}$  caused by stress cages.

- \$26 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS
- Preparation of guanidinomethylbenzamidobenzene derivatives, compositions containing the same, and their use as antiulcer agents
- **AN** 1988:549090 CAPLUS
- IN 109:149090
- Preparation of guanidinomethylbenzamidobenzene derivatives, compositions containing the same, and their use as antiulcer agents
- IN Satoh, Toshio
- A Nippon Hypox Laboratories, Inc., Japan
- SO Eur. Pat. Appl., 9 pp.
- CODEN: EPXXDW
- **T** Patent
- MA English
- FAN CNT 1

	··· -				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
H	EP 268267	<b>A</b> 2	19880525	EP 1987-116968	19871117
	EP 268267	<b>A</b> 3	19891213		
	R: AT, BE,	CH, DE	, ES, FR, GB,	GR, IT, LI, LU, NL	, SE
				JP 1986-271748	19861117
	JP 63126860	A2	19880530	JP 1986-271748	19861117
<b>0</b> S	CASREACT 109:149	9090; M	ARPAT 109:1490	)90	
EI ·					

$$_{\text{H2}N}^{\text{HN}}$$
 CNHCH2 — CONH —  $_{\text{II}}^{\text{R}}$ 

AB Title compds. I (R = OH, halo, alkyl, alkoxy, carboxy) are prepd. as antiulcer agents. A soln. of 5.0 g p-toluidine and 3.2 g PCl3 in pyridine

was treated with 10.8 g 4-guanidinomethylbenzoic acid to give 7.9 g I.HCl (R = 4-Me) which gave a 90.3% inhibition of ulcers in rats when administered at 100 mg/kg orally.

- 126 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS
- TH Preparation of guanidinomethylbenzoic acid derivative as an antiulcer agent
- AN 1987:439441 CAPLUS
- IN 107:39441
- ${f T}$  Preparation of guanidinomethylbenzoic acid derivative as an antiulcer agent
- N Sato, Toshio; Matsumoto, Hitoshi; Kakegawa, Toshio
- A Taiyo Yakuhin Kogyo K. K., Japan
- SO Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF
- MT Patent
- LA Japanese
- EAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62029566	A2	19870207	JP 1985-166903	19850730
	US 4732916	Α	19880322	US 1986-886158	19860716

				JP 1985-166903	19850730
	EP 218027	A1	19870415	EP 1986-110197	19860724
	EP 218027	B1	19890920		
	R: AT,	BE, CH, DE,	FR, GB, IT,	LI, LU, NL, SE	
				JP 1985-166903	19850730
	AT 46501	E	19891015	AT 1986-110197	19860724
				JP 1985-166903	19850730
				EP <u>1</u> 986-110197	19860724
	ES $\frac{7}{2}$ 001341	A6 -	19880516	ES 1986-664	19860729
				JP 1985-166903	19850730
os	CASREACT 107	:39441			

GΙ

$$HN$$
  $C-NHCH_2$   $COR$ 

AΒ The title compd. I (R = PhNH) (II), useful as an antiulcer agent, was prepd. NaOH (2N) was added to a mixt of 17.7 g HN:C(SMe)NH2.H2SO4 and 100

 $\ensuremath{\text{mL}}$  2N NaOH until pH 11, to which a soln. of 10g p-aminomethylbenzoic acid in 50 mL H2O was added, kept overnight, treated with 1N HCl to give 8.4 g I.HCl (R = OH). A soln. of 1.0 g I (R = OH) and 0.45 g PhNH2 in 50 mL pyridine and 20 mL DMF was treated with 1.0 g DCC to give 0.5 g II.HCl, which showed ED50 at 34 mg/kg in rats against stress-induced ulcer and min. LD at >3000 mg/kg p.o. in mice. vs. 143 and <1000 mg/kg, resp., with N-phenyl-trans-4-(quanidinomethyl)cyclohexanecarboxamide HCl (III).

=> save temp all ulcersrch/l L# LIST L1-L26 HAS BEEN SAVED AS 'ULCERSRCH/L'

=> logoff hold COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 603.41 FULL ESTIMATED COST 18.74 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -3.72-4.34

SESSION WILL BE HELD FOR 60 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 07:32:40 ON 19 MAR 2002

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Trying 3106016892...Open

Welcome to STN International! Enter x:x LOGINID:ssspta1623paz PASSWORD: \* \* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \* SESSION RESUMED IN FILE 'CAPLUS' AT 08:01:47 ON 19 MAR 2002 FILE 'CAPLUS' ENTERED AT 08:01:47 ON 19 MAR 2002 COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)



COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 18.74	TOTAL SESSION 603.41
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  CA SUBSCRIBER PRICE	SINCE FILE ENTRY -3.72	TOTAL SESSION -4.34
=> logoff hold COST IN U.S. DOLLARS	SINCE FILE	TOTAL SESSION
FULL ESTIMATED COST	19.41	604.08
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  CA SUBSCRIBER PRICE	SINCE FILE ENTRY -3.72	TOTAL SESSION -4.34

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 08:03:03 ON 19 MAR 2002
Connection closed by remote host